

~~clear of art of~~

10/510,680

Yong Chu, 9-22-2006

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USPATFULL/USPAT2
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAPplus
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in
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NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAPplus(SM) Austrian patent law changes
NEWS 18 SEP 11 CA/CAPplus enhanced with more pre-1907 records

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

CM 2

CRN 71-47-6
CMF C H O2

O=CH-O-

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

6.03

521.86

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SINCE FILE

TOTAL

ENTRY

SESSION

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FILE 'REGISTRY' ENTERED AT 15:39:42 ON 20 SEP 2006

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STRUCTURE FILE UPDATES: 19 SEP 2006 HIGHEST RN 907944-91-6

DICTIONARY FILE UPDATES: 19 SEP 2006 HIGHEST RN 907944-91-6

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<http://www.cas.org/ONLINE/UG/regprops.html>

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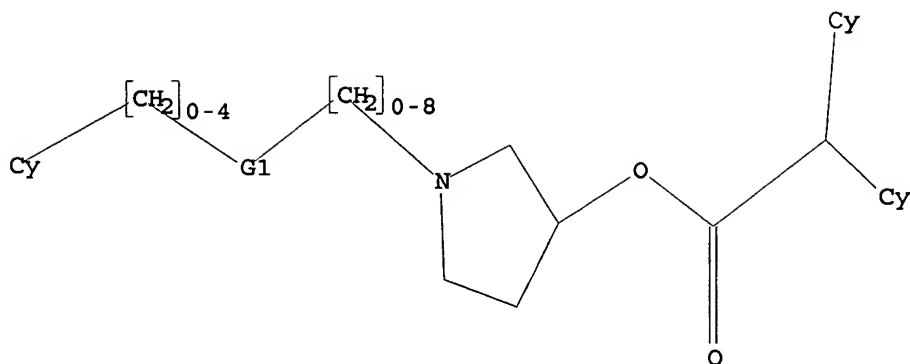
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L16 STRUCTURE UPLOADED

=> d

L16 HAS NO ANSWERS

L16 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l16

SAMPLE SEARCH INITIATED 15:40:18 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 1005 TO ITERATE

100.0% PROCESSED 1005 ITERATIONS 3 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 18199 TO 22001
 PROJECTED ANSWERS: 3 TO 163

L17 3 SEA SSS SAM L16

=> s l16 full

FULL SEARCH INITIATED 15:40:26 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 19091 TO ITERATE

100.0% PROCESSED 19091 ITERATIONS 55 ANSWERS
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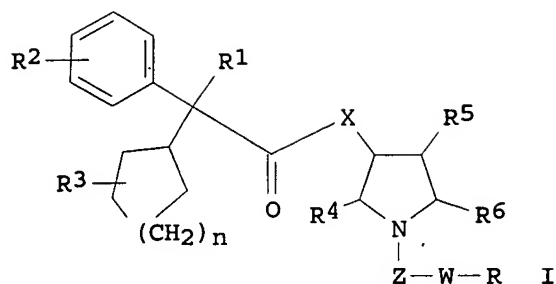
L18 55 SEA SSS FUL L16

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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FILE 'CAPLUS' ENTERED AT 15:40:37 ON 20 SEP 2006
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AB Title muscarinic receptor antagonists I (X = O, NH, etc.; R1 = OH, etc.; R2 = H, halo, alkyl; R3 = H, OH, etc.; R4, R5, R6 = H, alkyl; ; Z = CH2, SO2, carbonyl; W = alkylene, etc.; R = alkyl, aryl, etc.), useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors, are prepd. The affinity of these compds. for M2 and M3 muscarinic receptor subtype was tested. For example, (3S)-1-benzylpyrrolidin-3-yl cyclopentyl(hydroxy)phenylacetate was prepd. and had pKi = 6.13/7.17 for the M2 and M3 receptor subtype resp.

IT 719278-65-6P 719278-66-7P 719278-72-5P

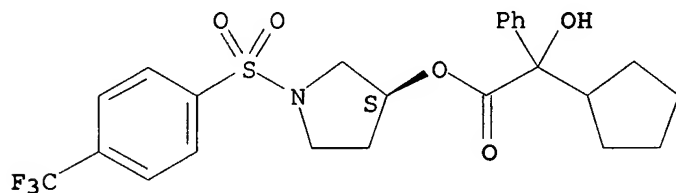
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-substituted-3-pyrrolidine derivs. as muscarinic receptor antagonists)

RN 719278-65-6 CAPLUS

CN Benzeneacetic acid, .alpha.-cyclopentyl-.alpha.-hydroxy-, (3S)-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

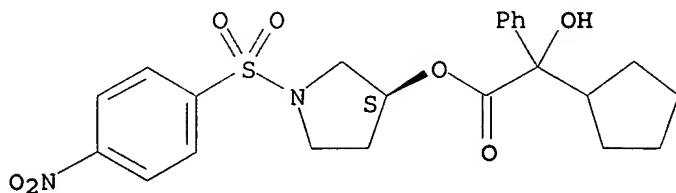
Absolute stereochemistry.



RN 719278-66-7 CAPLUS

CN Benzeneacetic acid, .alpha.-cyclopentyl-.alpha.-hydroxy-, (3S)-1-[[4-nitrophenyl]sulfonyl]-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

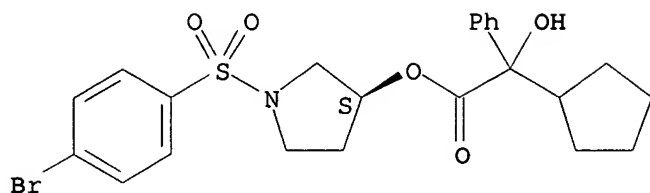
Absolute stereochemistry.



RN 719278-72-5 CAPLUS

CN Benzeneacetic acid, .alpha.-cyclopentyl-.alpha.-hydroxy-, (3S)-1-[[4-bromophenyl]sulfonyl]-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:837081 CAPLUS

DOCUMENT NUMBER: 139:337885

TITLE: Preparation of acyloxypyrrolidinium salts as M3 muscarinic antagonists

INVENTOR(S): Prat Quinones, Maria; Fernandez Forner, Maria Dolors

PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

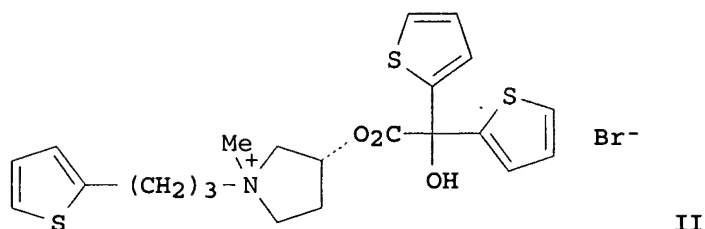
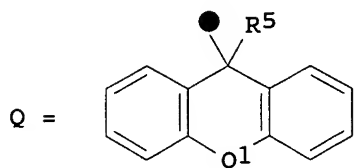
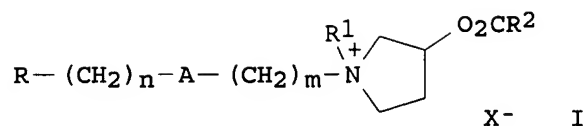
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Current application

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087094	A2	20031023	WO 2003-EP3786	20030411
WO 2003087094	A3	20040318		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
ES 2206021	A1	20040501	ES 2002-889	20020416
ES 2206021	B1	20050801		
CA 2482536	AA	20031023	CA 2003-2482536	20030411
AU 2003233967	A1	20031027	AU 2003-233967	20030411
EP 1497284	A2	20050119	EP 2003-727294	20030411
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003009167	A	20050125	BR 2003-9167	20030411
CN 1662527	A	20050831	CN 2003-813892	20030411
ZA 2004008335	A	20051102	ZA 2004-8335	20041014
NO 2004004826	A	20050114	NO 2004-4826	20041105
US 2005282875	A1	20051222	US 2005-510680	20050720
PRIORITY APPLN. INFO.:			ES 2002-889	A 20020416
			WO 2003-EP3786	W 20030411
OTHER SOURCE(S):	MARPAT 139:337885			
GI				



AB Pyrrolidinium derivs. I [R = (un)substituted Ph, naphthalenyl, 5,6,7,8-tetrahydronaphthalenyl, benzo[1,3]dioxolyl, biphenyl, heteroarom.; R1 = alkyl; R2 = CR3R4R5, Q; R3 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl; R4 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, cycloalkyl; R5 = H, OH, Me, CH2OH; Q1 = CH2, CH2CH2, O, OCH2, S, SCH2, CH:CH; A = (un)substituted CH:CH, CH2, CO, O, S, S(O), SO2, NH; m = 0-8; n = 0-4] were prepd. for use in therapy as antagonists of M3 muscarinic receptors (no data). Thus, (3R)-3-pyrrolidinol was treated with 2-(3-bromopropyl)thiophene to give (3R)-1-(3-thien-2-ylpropyl)pyrrolidinol which was treated with Me 2-hydroxy-2,2-dithen-2-ylacetate and quaternized to give the pyrrolidinium salt II.

IT 616865-64-6P 616865-65-7P

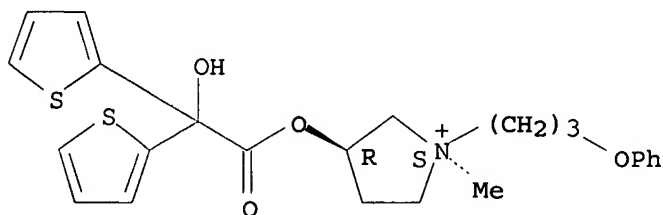
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of acyloxypyrrolidinium salts as M3 muscarinic antagonists)

RN 616865-64-6 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(3-phenoxypropyl)-, bromide, (1S,3R)- (9CI) (CA INDEX NAME)

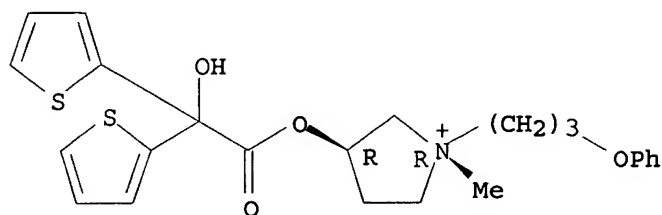
Absolute stereochemistry.



● Br⁻

RN 616865-65-7 CAPLUS
 CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(3-phenoxypropyl)-, bromide, (1R,3R)- (9CI) (CA INDEX NAME)

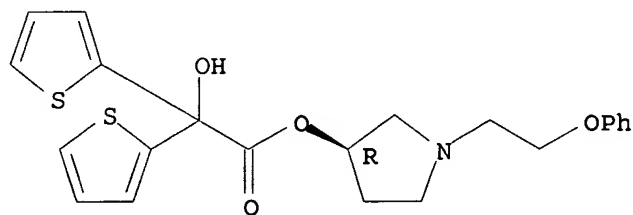
Absolute stereochemistry.



● Br⁻

IT 616866-05-8P 616866-06-9P 616866-07-0P
 616866-08-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of acyloxypyrrolidinium salts as M3 muscarinic antagonists)
 RN 616866-05-8 CAPLUS
 CN 2-Thiopheneacetic acid, .alpha.-hydroxy-.alpha.-2-thienyl-, (3R)-1-(2-phenoxyethyl)-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

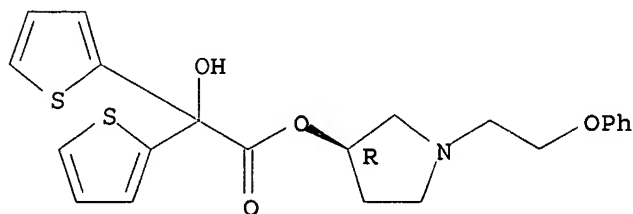


RN 616866-06-9 CAPLUS
 CN 2-Thiopheneacetic acid, .alpha.-hydroxy-.alpha.-2-thienyl-, (3R)-1-(2-phenoxyethyl)-3-pyrrolidinyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

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CRN 616866-05-8
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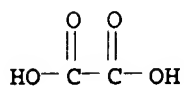
Absolute stereochemistry.



CM 2

CRN 144-62-7

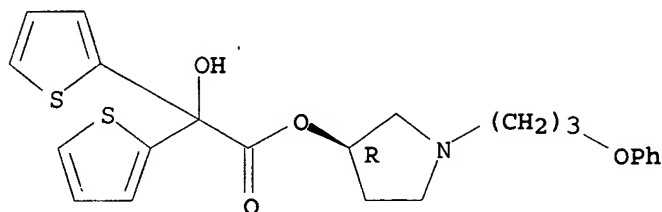
CMF C2 H2 O4



RN 616866-07-0 CAPLUS

CN 2-Thiopheneacetic acid, .alpha.-hydroxy-.alpha.-2-thienyl-,
(3R)-1-(3-phenoxypropyl)-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 616866-08-1 CAPLUS

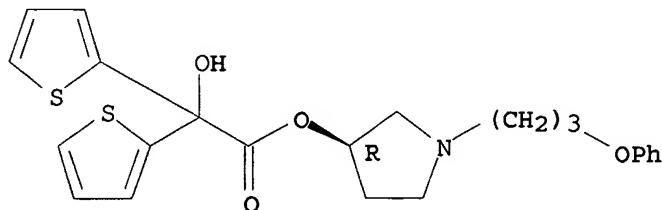
CN 2-Thiopheneacetic acid, .alpha.-hydroxy-.alpha.-2-thienyl-,
(3R)-1-(3-phenoxypropyl)-3-pyrrolidinyl ester, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 616866-07-0

CMF C23 H25 N O4 S2

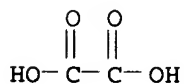
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



IT 616865-58-8P 616865-59-9P 616865-60-2P
616865-62-4P 616865-63-5P 616865-76-0P
616865-77-1P 616865-78-2P 616865-86-2P

616865-87-3P 616865-88-4P 616865-89-5P
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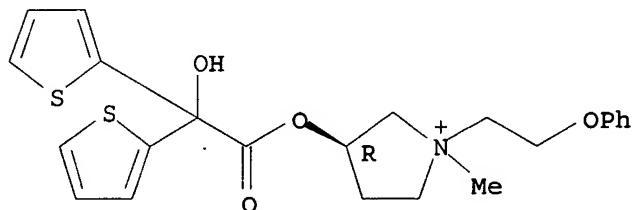
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of acyloxypyrrolidinium salts as M3 muscarinic antagonists)

RN 616865-58-8 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(2-phenoxyethyl)-, bromide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

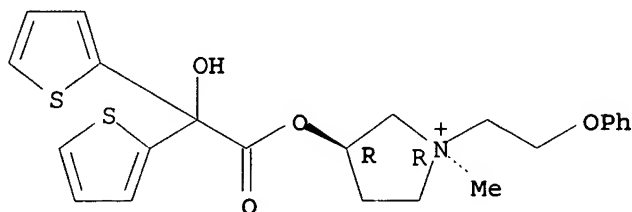


● Br⁻

RN 616865-59-9 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(2-phenoxyethyl)-, bromide, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

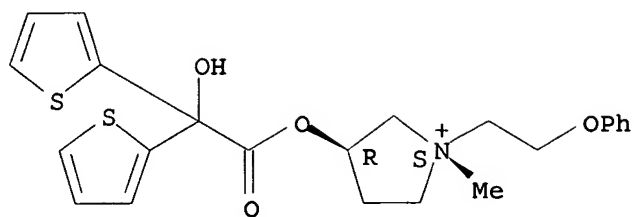


● Br⁻

RN 616865-60-2 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(2-phenoxyethyl)-, bromide, (1S,3R)- (9CI) (CA INDEX NAME)

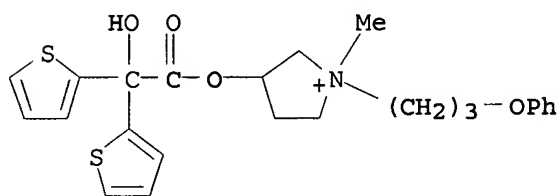
Absolute stereochemistry.



● Br⁻

RN 616865-62-4 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(3-phenoxypropyl)-, bromide (9CI) (CA INDEX NAME)

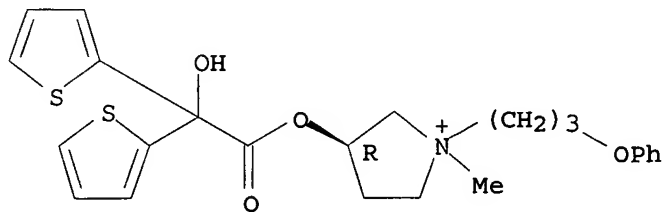


● Br⁻

RN 616865-63-5 CAPLUS

CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-methyl-1-(3-phenoxypropyl)-, bromide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

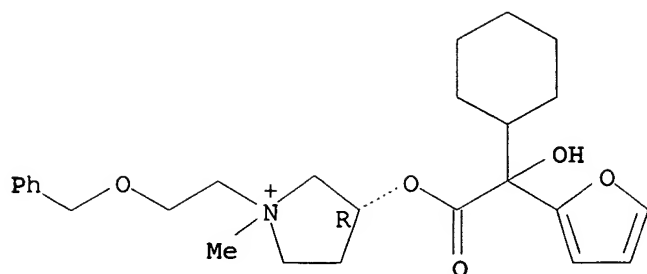


● Br⁻

RN 616865-76-0 CAPLUS

CN Pyrrolidinium, 3-[(cyclohexyl-2-furanylhydroxyacetyl)oxy]-1-methyl-1-[2-(phenylmethoxy)ethyl]-, bromide, (3R)- (9CI) (CA INDEX NAME)

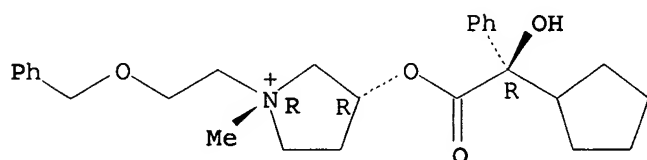
Absolute stereochemistry.



● Br⁻

RN 616865-77-1 CAPLUS
 CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[2-(phenylmethoxy)ethyl]-, bromide, (1R,3R)- (9CI) (CA INDEX NAME)

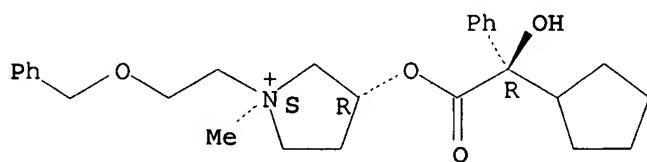
Absolute stereochemistry.



● Br⁻

RN 616865-78-2 CAPLUS
 CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[2-(phenylmethoxy)ethyl]-, bromide, (1S,3R)- (9CI) (CA INDEX NAME)

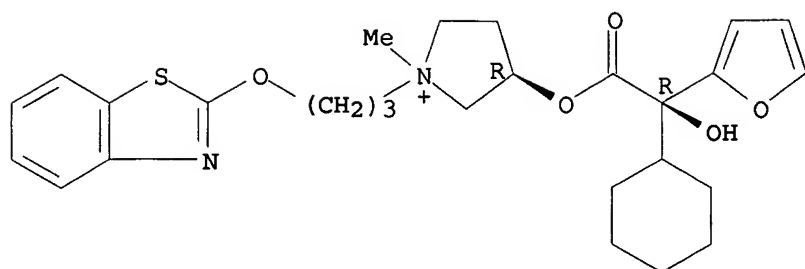
Absolute stereochemistry.



● Br⁻

RN 616865-86-2 CAPLUS
 CN Pyrrolidinium, 1-[3-(2-benzothiazolyloxy)propyl]-3-[[[(2R)-cyclohexyl-2-furanylhydroxyacetyl]oxy]-1-methyl-, chloride, (3R)- (9CI) (CA INDEX NAME)

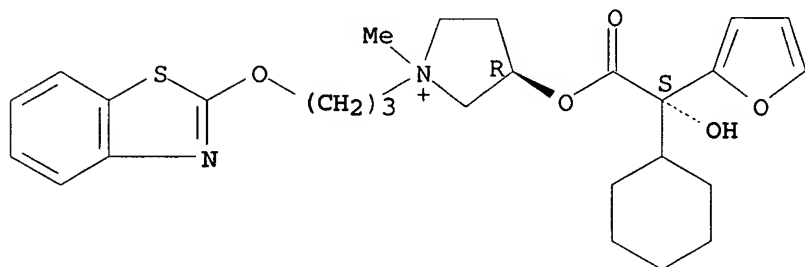
Absolute stereochemistry.



● Cl⁻

RN 616865-87-3 CAPLUS
 CN Pyrrolidinium, 1-[3-(2-benzothiazolyloxy)propyl]-3-[[2-(2S)-cyclohexyl-2-furanylhydroxyacetyl]oxy]-1-methyl-, chloride, (3R)- (9CI) (CA INDEX NAME)

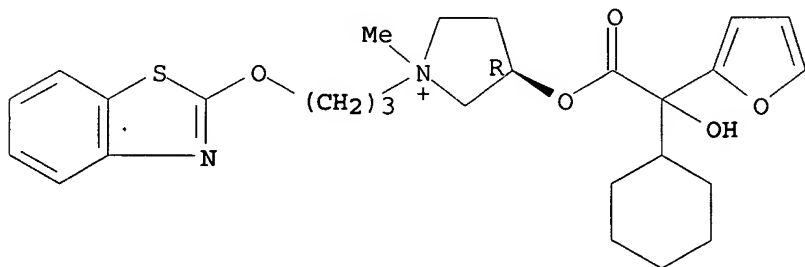
Absolute stereochemistry.



● Cl⁻

RN 616865-88-4 CAPLUS
 CN Pyrrolidinium, 1-[3-(2-benzothiazolyloxy)propyl]-3-[(cyclohexyl-2-furanylhydroxyacetyl)oxy]-1-methyl-, chloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

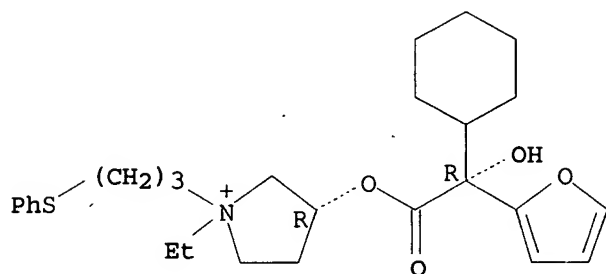


● Cl⁻

RN 616865-89-5 CAPLUS
 CN Pyrrolidinium, 3-[[2-(2R)-cyclohexyl-2-furanylhydroxyacetyl]oxy]-1-ethyl-1-

[3-(phenylthio)propyl]-, bromide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

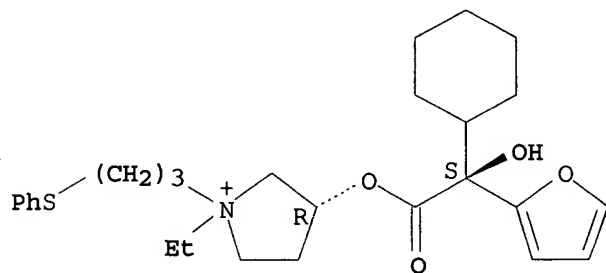


● Br⁻

RN 616865-90-8 CAPLUS

CN Pyrrolidinium, 3-[[[(2S)-cyclohexyl-2-furanylhydroxyacetyl]oxy]-1-ethyl-1-[3-(phenylthio)propyl]-, bromide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

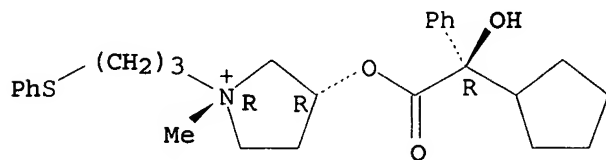


● Br⁻

RN 616865-91-9 CAPLUS

CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[3-(phenylthio)propyl]-, bromide, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

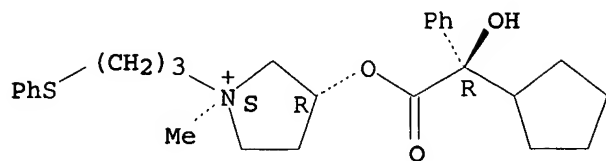


● Br⁻

RN 616865-92-0 CAPLUS

CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[3-(phenylthio)propyl]-, bromide, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

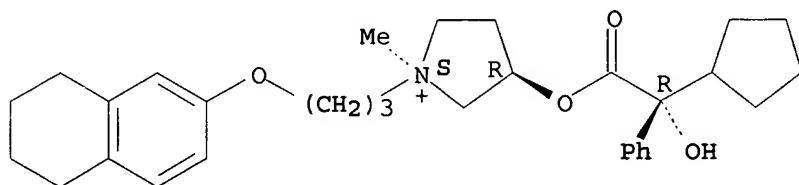


● Br⁻

RN 616865-93-1 CAPLUS

CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[3-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]propyl]-, bromide, (1S,3R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

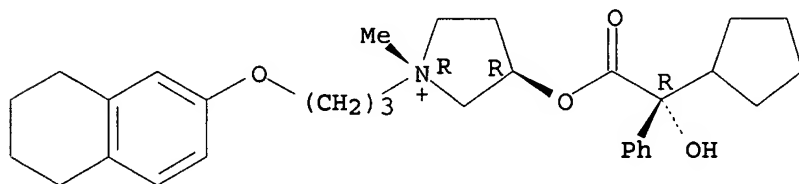


● Br⁻

RN 616865-94-2 CAPLUS

CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-methyl-1-[3-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]propyl]-, bromide, (1R,3R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

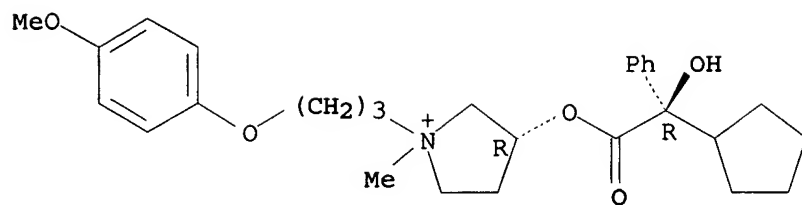


● Br⁻

RN 616865-95-3 CAPLUS

CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-[3-(4-methoxyphenoxy)propyl]-1-methyl-, bromide, (3R)- (9CI) (CA INDEX NAME)

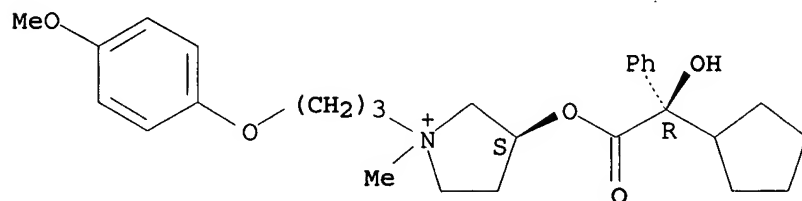
Absolute stereochemistry.



● Br⁻

RN 616865-96-4 CAPLUS
 CN Pyrrolidinium, 3-[[[(2R)-cyclopentylhydroxyphenylacetyl]oxy]-1-[3-(4-methoxyphenoxy)propyl]-1-methyl-, bromide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



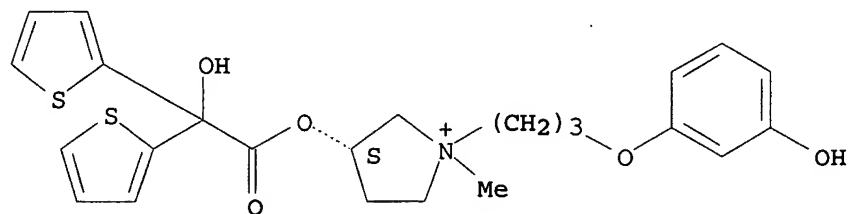
● Br⁻

RN 616866-00-3 CAPLUS
 CN Pyrrolidinium, 3-[(hydroxydi-2-thienylacetyl)oxy]-1-[3-(3-hydroxyphenoxy)propyl]-1-methyl-, (3S)-, formate (9CI) (CA INDEX NAME)

CM 1

CRN 616865-99-7
 CMF C24 H28 N O5 S2

Absolute stereochemistry.



CM 2

CRN 71-47-6
 CMF C H O2

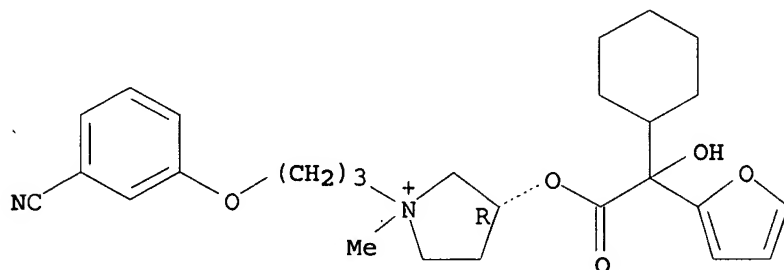
O=CH-O⁻

RN 616866-02-5 CAPLUS
 CN Pyrrolidinium, 1-[3-(3-cyanophenoxy)propyl]-3-[(cyclohexyl-2-furanylhydroxyacetyl)oxy]-1-methyl-, (3R)-, formate (9CI) (CA INDEX NAME)

CM 1

CRN 616866-01-4
 CMF C27 H35 N2 O5

Absolute stereochemistry.



CM 2

CRN 71-47-6
 CMF C H O2

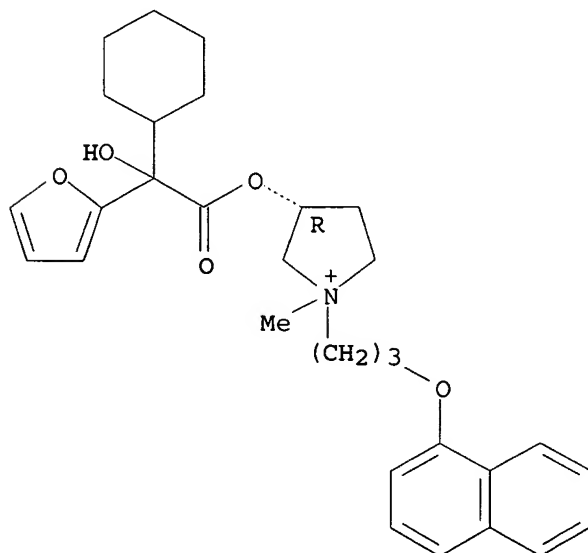
O=CH-O⁻

RN 616866-04-7 CAPLUS
 CN Pyrrolidinium, 3-[(cyclohexyl-2-furanylhydroxyacetyl)oxy]-1-methyl-1-[3-(1-naphthalenyloxy)propyl]-, (3R)-, formate (9CI) (CA INDEX NAME)

CM 1

CRN 616866-03-6
 CMF C30 H38 N O5

Absolute stereochemistry.



CM 2

CRN 71-47-6

CMF C H O2

$O \equiv CH - O^-$

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L19 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:546475 CAPLUS

DOCUMENT NUMBER: 141:106362

TITLE: Preparation of 1-substituted-3-pyrrolidine derivatives as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur; Sarma, Pakala Kumara Savithru

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

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CODEN: PIXXD2

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FAMILY ACC. NUM. COUNT: 1

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WO 2004056767	A1	20040708	WO 2002-IB5590	20021223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002347552	A1	20040714	AU 2002-347552	20021223
EP 1583741	A1	20051012	EP 2002-783480	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2006194862	A1	20060831	US 2006-540245	20060207
PRIORITY APPLN. INFO.:			WO 2002-IB5590	A 20021223
OTHER SOURCE(S):			CASREACT 141:106362; MARPAT 141:106362	

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